

Claims

1. A pharmaceutical liposomal formulation,
5 **characterized in that** it comprises as active ingredient a 3-amidino- or 3-guanidino-phenylalanine derivative effective as urokinase inhibitor.
- 10 2. The formulation as claimed in claim 1, **characterized in that** the urokinase inhibitor is selected from N α -(2,4,6-triisopropylphenyl-sulfonyl)-3-amidino-(D,L)-phenylalanine-4-ethoxycarbonylpiperazide, the L enantiomer thereof or a pharmaceutically acceptable salt of these
15 compounds.
- 20 3. The formulation as claimed in claim 1, **characterized in that** the urokinase inhibitor is selected from N α -(2,4,6-triisopropylphenyl-sulfonyl)-3-guanidino-(D,L)-phenylalanine-4-ethoxycarbonylpiperazide, the L enantiomer thereof or a pharmaceutically acceptable salt of these compounds.
- 25 4. The formulation as claimed in any of claims 1 to 3, **characterized in that** the active ingredient is present in a proportion by weight of 0.5-10% based on the total weight of the formulation.
- 30 5. The formulation as claimed in claim 4, **characterized in that** the active ingredient is present in a proportion by weight of 2-5%.
- 35 6. The formulation as claimed in any of claims 1 to 5, **characterized in that** it has a pH in the range 5.5-9.0.

7. The formulation as claimed in any of claims 1 to 6, **characterized in that** it comprises phospholipids in a proportion by weight of 4.5-40% based on the total weight of the formulation.
- 5 8. The formulation as claimed in any of claims 1 to 7, **characterized in that** it comprises phospholipids selected from neutral phospholipids, anionic phospholipids and combinations thereof.
- 10 9. The formulation as claimed in any of claims 1 to 8, **characterized in that** it comprises at least one anionic phospholipid such as, for example, phosphatidylethanolamine, phosphatidylglycerol, 15 diphosphatidylglycerol, phosphoinositol or esterified derivatives thereof.
- 20 10. The formulation as claimed in claim 8 or 9, **characterized in that** it comprises phosphatidylcholine and dimyristoylphosphatidylglycerol in a ratio of 70:30 by weight.
- 25 11. The formulation as claimed in any of claims 1 to 10, **characterized in that** it additionally comprises a membrane-stabilizing component such as, for example, cholesterol, in a proportion by weight of up to 5% based on the total weight of the formulation.
- 30 12. The formulation as claimed in any of claims 1 to 11, **characterized in that** it additionally comprises a cryoprotectant.
- 35 13. The formulation as claimed in claim 12, **characterized in that** the cryoprotectant is present in a proportion by weight of up to 15%, preferably 5-15%, based on the total weight of the formulation.

14. The formulation as claimed in either of claims 12 or 13, **characterized in that** the cryoprotectant is selected from carbohydrates or/and sugar alcohols.
- 5 15. The formulation as claimed in any of claims 1 to 14, **characterized in that** the average diameter of liposomes is not greater than 500 nm.
- 10 16. The formulation as claimed in claim 15, **characterized in that** the average diameter of liposomes is 100-250 nm.
- 15 17. The formulation as claimed in any of claims 1 to 17, **characterized in that** the liposomes are unilamellar liposomes.
18. The formulation as claimed in any of claims 1 to 17 for parenteral administration.
- 20 19. The formulation as claimed in claim 18 for intravenous injection.
- 25 20. The formulation as claimed in claim 18 for infusion.
21. The formulation as claimed in claim 18 for subcutaneous injection.
- 30 22. The formulation as claimed in claim 18 for intramuscular injection.
23. The formulation as claimed in any of claims 1 to 22 in dehydrated form.
- 35 24. The formulation as claimed in any of claims 1 to 23 for controlling urokinase-associated disorders.

25. The formulation as claimed in claim 24 for
controlling tumors.
- 5 26. The formulation as claimed in claim 25 for
controlling carcinomas of the breast, pancreatic
carcinomas or/and the formation of metastases.
- 10 27. The use of a formulation as claimed in any of
claims 1 to 26 in combination with cytostatic
agents.